3-(5-phenoxy-3-pyridyl)-3,7-diazabicyclo[3.3.1]nonane

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=> fil reg FILE 'REGISTRY' ENTERED AT 15:47:58 ON 30 AUG 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 29 AUG 2002 HIGHEST RN 445455-71-0 DICTIONARY FILE UPDATES: 29 AUG 2002 HIGHEST RN 445455-71-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

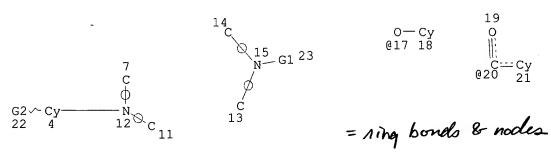
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d stat que 118

L6 STR



Ak @24

VAR G1=H/24 VAR G2=17/20 NODE ATTRIBUTES: NSPEC 7 IS R ATNSPEC IS R 11 ATIS R NSPEC AT12 NSPEC IS R AT13 ATNSPEC IS R 14 NSPEC IS R AT1.5 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM IS MCY UNS AT GGCAT DEFAULT ECLEVEL IS LIMITED ECOUNT IS M3-X5 C X3 N AT

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

L10 SCR 989 AND 1841 AND 1993 AND 1994 AND 2004

L16 2177222 SEA FILE=REGISTRY ABB=ON C N/RELF(S)5-22/RATC(S)2/NRRS

L18 33 SEA FILE=REGISTRY SUB=L16 SSS FUL (L6 AND L10)

100.0% PROCESSED 215006 ITERATIONS

33 ANSWERS

Page 2

SEARCH TIME: 00.00.19

Liu 09/864905 Page 3

=> fil capl; d que nos 119; fil uspatf; d que nos 120 FILE 'CAPLUS' ENTERED AT 15:48:14 ON 30 AUG 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 30 Aug 2002 VOL 137 ISS 10 FILE LAST UPDATED: 29 Aug 2002 (20020829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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L6 STR
L10 SCR 989 AND 1841 AND 1993 AND 1994 AND 2004
L16 2177222 SEA FILE=REGISTRY ABB=ON C N/RELF(S)5-22/RATC(S)2/NRRS
L18 33 SEA FILE=REGISTRY SUB=L16 SSS FUL (L6 AND L10)
L19 7 SEA FILE=CAPLUS ABB=ON L18
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FILE 'USPATFULL' ENTERED AT 15:48:14 ON 30 AUG 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 29 Aug 2002 (20020829/PD)
FILE LAST UPDATED: 29 Aug 2002 (20020829/ED)
HIGHEST GRANTED PATENT NUMBER: US6442758
HIGHEST APPLICATION PUBLICATION NUMBER: US2002120971
CA INDEXING IS CURRENT THROUGH 29 Aug 2002 (20020829/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 29 Aug 2002 (20020829/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2002

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>>> USPAT2 is now available. USPATFULL contains full text of the
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>>> original, i.e., the earliest published granted patents or
                                                                      <<<
>>> applications. USPAT2 contains full text of the latest US
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    publications, starting in 2001, for the inventions covered in
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>>>
    USPATFULL. A USPATFULL record contains not only the original
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    published document but also a list of any subsequent
                                                                      <<<
>>>
    publications. The publication number, patent kind code, and
                                                                      <<<
    publication date for all the US publications for an invention
                                                                      <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL
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>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.
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>>> USPATFULL and USPAT2 can be accessed and searched together

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Liu 09/864905 Page 4

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    the earliest to the latest publication.
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This file contains CAS Registry Numbers for easy and accurate substance identification.

L6 STR L10 SCR 989 AND 1841 AND 1993 AND 1994 AND 2004 L16 2177222 SEA FILE=REGISTRY ABB=ON C N/RELF(S)5-22/RATC(S)2/NRRS L18 33 SEA FILE=REGISTRY SUB=L16 SSS FUL (L6 AND L10) L20 8 SEA FILE=USPATFULL ABB=ON L18

=> dup rem 119,120 FILE 'CAPLUS' ENTERED AT 15:48:19 ON 30 AUG 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 15:48:19 ON 30 AUG 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS) PROCESSING COMPLETED FOR L19 PROCESSING COMPLETED FOR L20 13 DUP REM L19 L20 (2 DUPLICATES REMOVED) ANSWERS '1-7' FROM FILE CAPLUS

ANSWERS '8-13' FROM FILE USPATFULL => d ibib abs hitstr 1-13 130; fil cao; d que nos 121; fil hom

L30 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2002 ACS DUPLICATE 1

ACCESSION NUMBER: 2002:90615 CAPLUS

DOCUMENT NUMBER:

136:134798

TITLE:

Preparation of N-aryl diazabicyclic compounds for treatment of central nervous system disorders

INVENTOR(S):

Miller, Craig Harrison; Dull, Gary Maurice; Miao, Lan;

Lynm, Dwo; Schmitt, Jeffrey Daniel; Clark, Thomas

Jeffrey

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S.

Ser. No. 578,768.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English 2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	E A	PPLICATION NO.	DATE
US 2002013309	A1 2002	20131 U	S 2001-864905	20010524
US 6440970	B1 2002	20827 U	S 2000-578768	20000525
WO 2001090109	A1 2001	l1129 W	O 2001-US16941	20010524
W: AE, AG,	AL, AM, AT,	AU, AZ, BA,	BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE,	DK, DM, DZ,	EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR,	HU, ID, IL,	, IN, IS, JP,	KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, LT,	LU, LV, MA,	MD, MG, MK,	MN, MW, MX, MZ,	NO, NZ, PL, PT,
RO, RU,	SD, SE, SG,	SI, SK, SL,	TJ, TM, TR, TT,	TZ, UA, UG, US,
UZ, VN,	YU, ZA, ZW,	, AM, AZ, BY,	KG, KZ, MD, RU,	TJ, TM
RW: GH, GM,	KE, LS, MW,	MZ, SD, SL,	SZ, TZ, UG, ZW,	AT, BE, CH, CY,

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2000-578768 A2 20000525 US 2001-864905 A 20010524

OTHER SOURCE(S):

MARPAT 136:134798

ΑB The present invention relates to the prepn. of N-aryl diazabicyclic compds. I [wherein Q = (CH2)u; Q1 = (CH2)v, Q2 = (CH2)w; Q3 = (CH2)x, and Q4 = (CH2)y; u, v, w, x = independently 0-4; Y = 1 or 2; Z = a non-hydrogen substituent having a sigma m value between -0.3 and about 0.75; n = 0-10; R = H or alkyl; Cy = (un)substituted Ph, pyridyl, pyrimidinyl, pyrazinyl, or 1,2,4-triazinyl] and their use in the treatment of central nervous system disorders. Of particular interest are 2-pyridyl diazabicyclic compds., such as (1S,4S)-2-(5-(3-methoxyphenoxy)-3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane (II) , (1S,4S)-2-(5-(4-methoxyphenoxy)-3pyridyl)-2,5-diazabicyclo[2.2.1]heptane, (1S,4S)-2-(5-(3,4dimethoxyphenoxy)-3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane, (1S, 4S) - 2 - (5 - (4 - fluorophenoxy) - 3 - pyridyl) - 2, 5 - diazabicyclo[2.2.1] heptane,and (1S, 4S)-2-(5-benzoyl-3-pyridyl)-2, 5-diazabicyclo[2.2.1]heptane. Thepresent invention also relates to prodrug derivs. of the compds. of the present invention. For example, coupling of 3-bromo-5-(4methoxyphenoxy)pyridine (prepn. given) with (1S,4S)-N-(tertbutoxycarbonyl)-2,5-diazabicyclo[2.2.1]heptane in the presence of tris(dibenzylideneacetone)dipalladium and (rac)-2,2-bis(diphenylphosphino)-1,1-binaphthyl, and NaOBu-t in toluene, followed by deprotection using TFA and salt formation, afforded II.bul.hemigalactarate. The latter exhibited a Ki of 13 nM in binding studies with certain CNS nicotinic receptors. ΙT 374934-99-3P, (1S, 4S)-2-[5-(4-Methoxyphenoxy)-3-pyridyl]-2,5diazabicyclo[2.2.1]heptane 374935-02-1P, (1S,4S)-2-[5-(3-Methoxyphenoxy)-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (nicotinic receptor ligand; prepn. of N-aryl diazabicyclic compds. as nicotinic receptor ligands for treatment of central nervous system disorders)

RN 374934-99-3 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-methoxyphenoxy)-3-pyridinyl]-, (1S, 4S) - (9CI) (CA INDEX NAME)

RN 374935-02-1 CAPLUS CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(3-methoxyphenoxy)-3-pyridinyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 374935-09-8P, (1S,4S)-2-[5-(4-Fluorophenoxy)-3-pyridyl]-2,5diazabicyclo[2.2.1]heptane dihydrochloride 374935-11-2P, (1S, 4S) - 2 - (5 - Benzoyl - 3 - pyridyl) - 2, 5 - diazabicyclo[2.2.1]heptanedihydrochloride 392661-65-3P 392661-67-5P **392661-68-6P**, (1S, 4S)-2-[5-(4-Fluorophenoxy)-3-pyridyl]-2,5diazabicyclo[2.2.1]heptane 392661-69-7P, (1S,4S)-2-(5-Benzoyl-3pyridyl)-2,5-diazabicyclo[2.2.1]heptane RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (nicotinic receptor ligand; prepn. of N-aryl diazabicyclic compds. as nicotinic receptor ligands for treatment of central nervous system disorders) RN 374935-09-8 CAPLUS · 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-fluorophenoxy)-3-pyridinyl]-, CN dihydrochloride, (1S, 4S) - (9CI) (CA INDEX NAME)

2 HCl

RN 374935-11-2 CAPLUS

CN Methanone, [5-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-3-pyridinyl]phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 392661-65-3 CAPLUS

CN Galactaric acid, compd. with (1S,4S)-2-[5-(4-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 374934-99-3

CMF C17 H19 N3 O2

CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

RN 392661-67-5 CAPLUS

CN Galactaric acid, compd. with (1S, 4S)-2-[5-(3-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 374935-02-1 CMF C17 H19 N3 O2

09/864905

CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

RN 392661-68-6 CAPLUS CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-fluorophenoxy)-3-pyridinyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 392661-69-7 CAPLUS CN Methanone, [5-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-3-pyridinyl]phenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L30 ANSWER 2 OF 13 CAP ACCESSION NUMBER:

CAPLUS COPYRIGHT 2002 ACS

1999:818934 CAPLUS

DOCUMENT NUMBER:

132:44977

DUPLICATE 2

TITLE:

Benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives and their use

as anticoagulants

INVENTOR(S):

Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., USA

SOURCE:

U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 713,066.

CODEN: USXXAM

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			ND	DATE			A	PPLI	CATI	ои ис	0.	DATE			
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WC	9811																
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		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	UZ,
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ΑÜ	7239	999		В	2	2000	0907										
EF	9295	547		Α	1	1999	0721		Ē	P 19	97-9	4201	5	1997	0911		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
						FI,					•	•	·	·	-		
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KF	2000	00360	17	Α		2000	0626		K	R 19	99-7	0198	9	1999	0310		
NC	990:	1206		Α		1999	0511		N	0 19	99-1	206		1999	0311		
	617					2001				S 19	99-4	3906	5	1999	1112		
	6232													1999	1112		
	6265													1999	1112		
	1338													2001			
PRIORIT													-	1996			
														1997			

OTHER SOURCE(S): MARPAT 132:44977

Benzamidine derivs. substituted by cyclic amino acid and cyclic hydroxy acid derivs. are provided which are useful as anticoagulants. Also disclosed are pharmaceutical compns. contq. the compds. of the invention, and methods of using the compds. to treat disease-states characterized by thrombotic activity.

#### ΙT 204768-46-7 204768-48-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

WO 1997-EP4961

W 19970911

(benzamidine deriv. prepn. for anticoagulants)

204768-46-7 CAPLUS RN

CN 2-Azabicyclo[2.2.1]heptane-6-carboxylic acid, 2-[2-[5-(aminoiminomethyl)-2hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5difluoro-4-pyridinyl]- (9CI) (CA INDEX NAME)

Page 11

$$H_2N-C$$
 $H_2N-C$ 
 $H_2N$ 

RN 204768-48-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 2-[2-[5-(aminoiminomethyl)-2hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5difluoro-4-pyridinyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:31453 CAPLUS

136:85836

TITLE:

SOURCE:

Aryl- and heteroaryl-substituted diazabicycloalkanes

as cholinergic ligands for the nicotinic acetylcholine

receptor

INVENTOR(S):

Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet Ostergaard; Ahring, Philip K.; Nielsen, Simon

Feldback; Jorgensen, Tino Dyhring

PATENT ASSIGNEE(S):

Neurosearch A/S, Den. PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

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WO 2002002564
                       Α1
                            20020110
                                           WO 2001-DK432
                                                             20010620
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2001065831
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                            20020114
                                           AU 2001-65831
                                                             20010620
PRIORITY APPLN. INFO.:
                                         DK 2000-1037
                                                             20000704
                                                          Α
                                         WO 2001-DK432
                                                          W 20010620
OTHER SOURCE(S):
                        MARPAT 136:85836
GΙ
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AB Title compds. I [one of R and R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, monocyclic or polycyclic aryl, aralkyl and the other = 1 (un) substituted monocyclic or polycyclic aryl; n = 2, 3; m = 1, 2, 3] and their dimers were prepd. for use as cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters. Thus, 9-methyl-3,9-diazabicyclo[4.2.1] nonane was treated with 2-chloroquinoline to give the 3-(2-quinoliny1) deriv. which had an IC50 for inhibition of noradrenaline uptake at rat brain serotonin transporters of 0.013 .mu.M. Due to their pharmacol. profile I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chem. substances.

IT 387870-75-9P 387870-76-0P 387870-77-1P 387870-78-2P 387870-79-3P 387870-80-6P 387870-81-7P 387870-82-8P 387870-83-9P 387870-84-0P 387870-85-1P 387870-86-2P 387870-87-3P 387870-88-4P 387870-89-5P 387870-90-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl- and heteroaryl-substituted diazabicycloalkanes as cholinergic ligands for the nicotinic acetylcholine receptor) 387870-75-9 CAPLUS

RN 387870-75-9 CAPLUS
CN 3,9-Diazabicyclo[4.2.1]nonane, 3-(6-phenoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 387870-76-0 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 9-methyl-3-(6-phenoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 387870-77-1 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 3-(6-phenoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 387870-78-2 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 10-methyl-3-(6-phenoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 387870-79-3 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 3-[6-(2-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-80-6 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 9-methyl-3-[6-(2-pyridinyloxy)-3-pyridinyl]-(9CI) (CA INDEX NAME)

RN 387870-81-7 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 3-[6-(2-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-82-8 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 10-methyl-3-[6-(2-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-83-9 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 3-[6-(3-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-84-0 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 9-methyl-3-[6-(3-pyridinyloxy)-3-pyridinyl](9CI) (CA INDEX NAME)

RN 387870-85-1 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 3-[6-(3-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-86-2 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 10-methyl-3-[6-(3-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-87-3 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 3-[6-(4-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-88-4 CAPLUS

CN 3,9-Diazabicyclo[4.2.1]nonane, 9-methyl-3-[6-(4-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-89-5 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 3-[6-(4-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 387870-90-8 CAPLUS

CN 3,10-Diazabicyclo[4.3.1]decane, 10-methyl-3-[6-(4-pyridinyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/864905

L30 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:868455 CAPLUS

DOCUMENT NUMBER:

136:6011

TITLE:

Heteroaryldiazabicycloalkanes as nicotinic cholinergic

receptor ligands

INVENTOR(S):

Miller, Craig Harrison; Dull, Gary Maurice; Miao, Lan;

Lynm, Dwo; Schmitt, Jeffrey Daniel; Clark, Thomas

Jeffrey

PATENT ASSIGNEE(S):

Targacept, Inc., USA

SOURCE:

PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0.	DATE			
WO	2001	0901	09	А	1	2001	1129		W	0 20	01-U	S169	41	2001	0524		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑŻ,	BA,	BB,	BG,	BR,	ΒY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤŹ,	UA,	UG,	US,
		UΖ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	MT		
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG		

 US 6440970
 B1 20020827
 US 2000-578768
 20000525

 US 2002013309
 A1 20020131
 US 2001-864905
 20010524

 PRIORITY APPLN. INFO.:
 US 2000-578768
 A 20000525

 US 2001-864905
 A 20010524

OTHER SOURCE(S): MARPAT 136:6011

The present invention relates to diazabicyclic compds., preferably to N-aryl diazabicyclic compds. Of particular interest are 2-pyridyl diazabicyclic compds., such as (1S,4S)-2-[5-(3-methoxyphenoxy)-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane. Other exemplary compds. of the present invention include: (1S,4S)-2-[5-(4-methoxyphenoxy)-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane (I), (1S,4S)-2-[5-(3,4-dimethoxyphenoxy)-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane, (1S,4S)-2-[5-(4-fluorophenoxy)-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane, and (1S,4S)-2-[5-benzoyl-3-pyridyl]-2,5-diazabicyclo[2.2.1]heptane. Thus, I hemigalactarate was prepd. in 4 steps starting from 4-methoxyphenol and 3,5-dibromopyridine. A binding const. of 13 nM was detd. for I hemigalactarate, showing high-affinity binding to certain CNS nicotinic receptors.

IT 374935-07-6P 374935-08-7P 374935-09-8P 374935-11-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heteroaryldiazabicycloalkanes as nicotinic cholinergic receptor ligands)

RN 374935-07-6 CAPLUS

CN Galactaric acid, compd. with (1S,4S)-2-[5-(4-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 374934-99-3 CMF C17 H19 N3 O2

Absolute stereochemistry.

CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

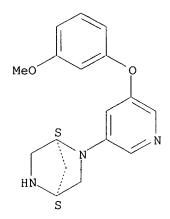
RN 374935-08-7 CAPLUS

CN Galactaric acid, compd. with (1S,4S)-2-[5-(3-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 374935-02-1 CMF C17 H19 N3 O2

Absolute stereochemistry.



CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

RN 374935-09-8 CAPLUS

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-fluorophenoxy)-3-pyridinyl]-, dihydrochloride, (1S,4S)- (9CI) (CA INDEX NAME)

2 HCl

RN 374935-11-2 CAPLUS
CN Methanone, [5-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-3-pyridinyl]phenyl, dihydrochloride (9CI) (CA INDEX NAME)

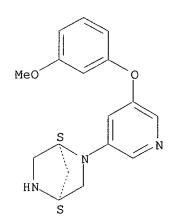
Absolute stereochemistry.

2 HCl

374935-02-1 CAPLUS RN

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(3-methoxyphenoxy)-3-pyridinyl]-, (1S, 4S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 13 CAPLUS COPYRIGHT 2002 ACS A¢CÈSSION NUMBER: 1998:180867 CAPLUS

DOCUMENT NUMBER: 128:230376

TITLE: Benzamidine derivatives substituted by cyclic amino

acid or cyclic hydroxy acid derivatives, and their use

as anticoagulants

INVENTOR(S): Kochanny, Monica; Morrissey, Michael M.; Ng, Howard P.

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: PCT Int. Appl., 79 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	ο.	DATE			
WO 981	1094		Α	1	1998	0319		Mo	0 19	97-E	P496	1	1997	0911		
W:	$\mathtt{AL}$	, AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		, EE,														

Page 21

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LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ,
             VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
     US 6008234
                             19991228
                                             US 1997-920319
                                                              19970827
                       Α
     AU 9743843
                       A1
                             19980402
                                            AU 1997-43843
                                                              19970911
     AU 723999
                        B2
                             20000907
     EP 929547
                        Α1
                             19990721
                                             EP 1997-942015
                                                              19970911
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2001500147
                       Т2
                             20010109
                                             JP 1998-513257
                                                              19970911
     NO 9901206
                             19990511
                                             NO 1999-1206
                                                              19990311
                       Α
PRIORITY APPLN. INFO.:
                                         US 1996-713066
                                                           Α
                                                              19960912
                                         US 1997-920319
                                                           Α
                                                              19970827
                                         WO 1997-EP4961
                                                              19970911
OTHER SOURCE(S):
                          MARPAT 128:230376
```

GT

AB

The invention is directed to benzamidine derivs. substituted by cyclic amino acid and cyclic hydroxy acid derivs., which are represented by seven general formulas, e.g., I [A = CR8 or N; Z1, Z2 = O, NR9, S, S(O), S(O)2,or OCH2; R1, R4 = H, halo, alkyl, NO2, OR9, CO2R9, NR9R10 or derivs.; R2 = C(:NH)NH2, C(:NH)NHOR9, C(:NH)NHCO2R12, C(:NH)NHCOR9, etc.; R3 = H, alkyl, halo, haloalkyl, NO2, ureido, guanidino, OR9, C(:NH)NH2 or derivs., etc.; R5, R6 = H, halo, alkyl, haloalkyl, NR9R10, CO2R9, etc.; R7 = NR9(CR9R10)0-4R13, O(CR9R10)0-4R13, or NR14R15; R8 = H, alkyl, halo; R9, R10 = H, alkyl, (un) substituted aryl or aralkyl; R12 = alkyl, (un) substituted aryl or aralkyl; R13 = (un) substituted carbocycle; R13, NR14R15 = (un)substituted heterocycle]. The compds. are useful as anticoagulants. This invention is also directed to pharmaceutical compns. contg. the compds., and their use to treat thrombotic disease states. For example, pentafluoropyridine underwent a sequence of: (1) amination in the 4-position by Et 1-amino-1-cyclopentanecarboxylate-HCl (82%); (2) N-methylation of the amino group (65%); (3) etherification in the 2-position with 2-(benzyloxy)-5-cyanophenol (60%); (4) etherification in the 6-position with 3-(1-methylimidazolin-2-yl)phenol; and (5) Pinner

II

reaction of the nitrile with concomitant debenzylation, to give title compd. II (isolated as the CF3CO2H salt).

204768-46-7P 204768-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzamidine derivs. as anticoagulants)

RN 204768-46-7 CAPLUS

ΙT

CN 2-Azabicyclo[2.2.1]heptane-6-carboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$H_2N-C$$
 $H_2N-C$ 
 $H_2N$ 

RN 204768-48-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

ACCESSION NUMBER: 1996:685153 CAPLUS

DOCUMENT NUMBER: 125:328725

TITLE: Preparation of heterocyclic compounds as antitumor

agents

INVENTOR(S): Aono, Tetsuya; Marui, Shogo; Itoh, Fumio; Yamaoka,

Masuo; Nakao, Masafumi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 145 pp.

Liu Page 23

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND PATENT NO. DATE APPLICATION NO. DATE \_\_\_\_\_ -----19960925 EP 1996-104176 19960315 EP 733633 A1 R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE US 5753664 19980519 US 1996-614893 19960313 Α CA 2171932 AA 19960917 CA 1996-2171932 19960315 JP 09095485 A2 19970408 JP 1996-59508 19960315 PRIORITY APPLN. INFO.: JP 1995-56869 19950316 JP 1995-191770 19950727

OTHER SOURCE(S):

MARPAT 125:328725

GI

CN

RZZ1COR2 [I; R = (un)substituted condensed pyrimidinone or condensed AB pyridazinone ring (sic); R2 cyclic group; Z = divalent group; Z1 = divalent cyclic group] were prepd. Thus, 2-mercapto-3-methyl-4(3H)quinazolinone was etherified by 4-ClC6H4COC6H4(CH2Br)-4 to give title compd. II. Data for in vivo antitumor activity of selected I were given. IT 183168-70-9P 183168-80-1P 183169-03-1P

183170-11-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as antitumor agents)

183168-70-9 CAPLUS RN

> 1H-Purine-2,6-dione, 7-[[4-[(6-[1,4'-bipiperidin]-1'-yl-3pyridinyl)carbonyl]phenyl]methyl]-3,7-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

Me Me

RN 183168-80-1 CAPLUS

CN 4(3H)-Quinazolinone, 3,5-dimethyl-2-[[[4-[[6-[4-(1-piperidinyl)-1piperazinyl]-3-pyridinyl]carbonyl]phenyl]methyl]thio]-, dihydrochloride
(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

## ●2 HCl

RN 183169-03-1 CAPLUS

CN 1H-Pyrrolo[3,2-d]pyrimidine-2,4(3H,5H)-dione, 1,3-dimethyl-5-[[4-[[6-(1-piperidinyl)-3-pyridinyl]carbonyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
Me \\
\hline
0 \\
N \\
N \\
CH_2
\end{array}$$

#### ●2 HC1

RN 183170-11-8 CAPLUS

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[[4-[(6-[1,4'-bipiperidin]-1'-yl-3-pyridinyl)carbonyl]phenyl]methyl]-3-ethyl-3,7-dihydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HC1

ACCESSION NUMBER: 1996:181550 CAPLUS

DOCUMENT NUMBER: 124:232495

TITLE: preparation of thienylazole and

thienotriazolodiazepine compounds as cholecystokinin

antagonists

INVENTOR(S): Kitajima, Hiroshi; Ehara, Syuji; Sato, Hideaki;

Moriwaki, Minoru; Onishi, Kenichi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9532963 W: JP	A1 19951207	7 WO 1994-JP889	19940601
***	A1 19951207 KR, US	WO 1995-JP1071	19950601
RW: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IE, IT, LU	
CA 2191756 EP 776892	AA 19951207 A1 19970604	7 CA 1995-2191756 EP 1995-920233	
R: AT, BE, US 5760032	CH, DE, DK, ES, A 19980602	FR, GB, GR, IE, IT, LI US 1996-750025	, LU, MC, NL, PT, SE 19961122
PRIORITY APPLN. INFO		JP 1994-889	19940601
		WO 1994-JP889 WO 1995-JP1071	19940601 19950601

OTHER SOURCE(S):

GΙ

$$R^{1}$$
 COAr  $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{1}$   $R^{1}$   $R^{1}$   $R^{2}$   $R^{2}$ 

MARPAT 124:232495

AB The title compds. [I, II; R1, R2 = H, halo, C1-5 alkyl, etc.; AB = N=N, etc; R3, R19 = H, C1-5 alkyl, etc.; Y = NHCO, NHCONH, NHCO2, etc.; Z1, Z2 = aryl, heteroaryl, etc.; Ar = halophenyl, etc.; m = 0-5], useful in preventing and treating central and peripheral nerve diseases such as anxiety and schizophrenia and digestive diseases such as pancreatitis and gastrointestinal ulcer, are prepd. PhCOCl and Et3N were added to a soln. of amino compd. III (R = H) in DMF under cooling and the soln. stirred at

room temp. to give amide III (R = PhCO). I and II are effective at 0.1-50 mg.

## IT 174666-66-1P

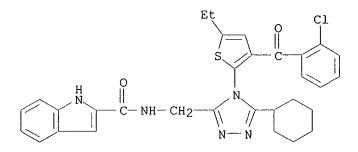
CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thienylazole and thienotriazolodiazepine compds. as cholecystokinin antagonists)

RN 174666-66-1 CAPLUS

1H-Indole-2-carboxamide, N-[[4-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-5-cyclohexyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)



L30 ANSWER 8 OF 13 USPATFULL

ACCESSION NUMBER: 2002:217266 USPATFULL

TTTLE: Pharmaceutical compositions and methods for use INVENTOR(S): Clark, Thomas Jeffrey, High Point, NC, United Sta

): Clark, Thomas Jeffrey, High Point, NC, United States Dull, Gary Maurice, Lewisville, NC, United States

Lynm, Dwo, Winston-Salem, NC, United States Miao, Lan, Winston-Salem, NC, United States

Miller, Craig Harrison, Winston-Salem, NC, United

States

Schmitt, Jeffrey Daniel, Winston-Salem, NC, United

States

PATENT ASSIGNEE(S): Targacept, Inc., Winston-Salem, NC, United States (U.S.

corporation)

	NUMBER	KIND	DATE	Shrang
PATENT INFORMATION: APPLICATION INFO.:	US 6440970 US 2000-578768	В1	20020827 20000525	(9)
DOGGUADUM MUDD	*** 13 11			

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Shah, Mukund J.

ASSISTANT EXAMINER: Liu, Hong

LEGAL REPRESENTATIVE: Womble Carlyle Sandridge & Rice, PLLC

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1439

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to diazabicyclic compounds, and preferably N-aryl diazabicyclic compounds. Of particular interest are 2-pyridyl diazabicyclic compounds, such as (1S,4S)-2-(3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane. Other exemplary compounds of the present invention include: (1S,4S)-2-(5-(4-methoxyphenoxy)-3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane, (1S,4S)-2-(5-pyrimidinyl)-2,5-diazabicyclo[2.2.1]heptane and (1S,4S)-2-(6-chloro-3-pyridazinyl)-2,5-diazabicyclo[2.2.1]heptane. The present invention also relates to prodrug derivatives of the compounds of the present invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

RN 374934-99-3 USPATFULL

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-methoxyphenoxy)-3-pyridinyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 374935-02-1 USPATFULL

CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(3-methoxyphenoxy)-3-pyridinyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 374935-09-8P, (1S,4S)-2-[5-(4-Fluorophenoxy)-3-pyridyl]-2,5 diazabicyclo[2.2.1]heptane dihydrochloride 374935-11-2P,
 (1S,4S)-2-(5-Benzoyl-3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane
 dihydrochloride 392661-65-3P 392661-67-5P
 392661-68-6P, (1S,4S)-2-[5-(4-Fluorophenoxy)-3-pyridyl]-2,5 diazabicyclo[2.2.1]heptane 392661-69-7P, (1S,4S)-2-(5-Benzoyl-3-pyridyl)-2,5-diazabicyclo[2.2.1]heptane
 (nicotinic receptor ligand; prepn. of N-aryl diazabicyclic compds. as nicotinic receptor ligands for treatment of central nervous system disorders)

RN 374935-09-8 USPATFULL

2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-fluorophenoxy)-3-pyridinyl]-, dihydrochloride, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN

2 HCl

RN 374935-11-2 USPATFULL

CN Methanone, [5-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-3-pyridinyl]phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

2 HCl

RN 392661-65-3 USPATFULL

CN Galactaric acid, compd. with (1S,4S)-2-[5-(4-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 374934-99-3 CMF C17 H19 N3 O2

09/864905

CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

RN 392661-67-5 USPATFULL

CN Galactaric acid, compd. with (1S,4S)-2-[5-(3-methoxyphenoxy)-3-pyridinyl]-2,5-diazabicyclo[2.2.1]heptane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 374935-02-1 CMF C17 H19 N3 O2

CM 2

CRN 526-99-8 CMF C6 H10 O8 CDES 5:GALACTO

Relative stereochemistry.

RN 392661-68-6 USPATFULL CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[5-(4-fluorophenoxy)-3-pyridinyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 392661-69-7 USPATFULL CN Methanone, [5-(1S,4S)-2,5-diazabicyclo[2.2.1]hept-2-yl-3-pyridinyl]phenyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

30 ANSWER 9 OF 13 USPATFULL

ACCESSION NUMBER: 2001:117010 USPATFULL

TITLE: Benzamidine derivatives substituted by cyclic amino

acid and cyclic hydroxy acid derivatives and their use

Page 31

as anti-coagulants

INVENTOR(S): Kochanny, Monica, San Rafael, CA, United States

Morrissey, Michael M., Danville, CA, United States

Ng, Howard P., El Sobrante, CA, United States

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., Richmond, CA, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6265404 B1 20010724 APPLICATION INFO.: US 1999-438270 19991112 (9)

Liu

RELATED APPLN. INFO.: Division of Ser. No. US 1997-920319, filed on 27 Aug

1997, now patented, Pat. No. US 6008234

Continuation-in-part of Ser. No. US 1996-713066, filed

on 12 Sep 1996, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Truong, Tamthom N.
LEGAL REPRESENTATIVE: Roth, Carol J.

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 2720

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention is directed to benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical compositions containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204768-46-7P 204768-48-9P

(prepn. of benzamidine derivs. as anticoagulants)

RN 204768-46-7 USPATFULL

CN 2-Azabicyclo[2.2.1]heptane-6-carboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$H_2N-C$$

OH

OH

N

Me

N

N

N

N

RN 204768-48-9 USPATFULL

CN 3-Isoquinolinecarboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

ANSWER 10 OF 13 USPATFULL

ACCESSION NUMBER: 2001:71560 USPATFULL

TITLE: Benzamidine derivatives substituted by cyclic amino

acid and cyclic hydroxy acid derivatves and their use

as anti-coagulants

INVENTOR(S): Kochanny, Monica, San Rafael, CA, United States

Morrissey, Michael M., Danville, CA, United States

Ng, Howard P., El Sobrante, CA, United States

PATENT ASSIGNEE(S): Berlex Laboratories, Inc., Richmond, CA, United States

(U.S. corporation)

		NUMBER	KIND	DATE	
				<del></del>	
PATENT INFORMATION:	US 6	6232325	B1	20010515	
APPLICATION INFO.:	US 3	1999-438354		19991112	(

RELATED APPLN. INFO.: Division of Ser. No. US 1997-920319, filed on 27 Aug

1997, now patented, Pat. No. US 6008234

Continuation-in-part of Ser. No. US 1996-713066, filed

(9)

on 12 Sep 1996, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Trouang, Tamthom N.
LEGAL REPRESENTATIVE: Roth, Carol J.

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 2733

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives which are useful as anti-coagulants. This invention is also directed to pharmaceutical compositions containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204768-46-7P 204768-48-9P

(prepn. of benzamidine derivs. as anticoagulants)

RN 204768-46-7 USPATFULL

CN 2-Azabicyclo[2.2.1]heptane-6-carboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & NH \\ \parallel \\ H_2N-C \\ \hline \\ OH \\ \hline \\ OH \\ \hline \\ OH \\ \hline \\ HO_2C \\ \hline \\ N \\ \hline \\ N \\ \hline \\ N \\ \hline \\ N \\ \hline \\ \end{array}$$

RN 204768-48-9 USPATFULL

CN 3-Isoquinolinecarboxylic acid, 2-[2-[5-(aminoiminomethyl)-2-hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5-difluoro-4-pyridinyl]-1,2,3,4-tetrahydro-(9CI) (CA INDEX NAME)

ANSWER 11 OF 13 USPATFULL

ACCESSION NUMBER:

2001:10935 USPATFULL

TITLE:

Benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives and their use

as anti-coagulants

INVENTOR(S):

Kochanny, Monica, San Rafael, CA, United States Morrissey, Michael M., Danville, CA, United States

Ng, Howard P., El Sobrante, CA, United States

PATENT ASSIGNEE(S):

Berlex Laboratories, Inc., Richmond, CA, United States

(U.S. corporation)

1997, now patented, Pat. No. US 6008234

Continuation-in-part of Ser. No. US 1996-713066, filed

on 12 Sep 1996, now abandoned

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER:

Shah, Mukund J.

ASSISTANT EXAMINER:

Truong, Tamthom N.

LEGAL REPRESENTATIVE:

Roth, Carol J.

NUMBER OF CLAIMS:

3 1

EXEMPLARY CLAIM:

LINE COUNT:

2734

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention is directed to benzamidine derivatives substituted by cyclic amino acid and cyclic hydroxy acid derivatives which are useful . as anti-coagulants. This invention is also directed to pharmaceutical compositions containing the compounds of the invention, and methods of using the compounds to treat disease-states characterized by thrombotic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

#### 204768-46-7P 204768-48-9P

(prepn. of benzamidine derivs. as anticoagulants)

204768-46-7 USPATFULL RN

CN 2-Azabicyclo[2.2.1]heptane-6-carboxylic acid, 2-[2-[5-(aminoiminomethyl)-2hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5difluoro-4-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & NH \\ \parallel \\ H_2N-C \\ \hline \\ OH \\ \hline \\ OH \\ \hline \\ HO_2C \\ \hline \\ N \\ \hline \\ N \\ \hline \\ \end{array}$$

RN 204768-48-9 USPATFULL

CN 3-Isoquinolinecarboxylic acid, 2-[2-[5-(aminoiminomethyl)-2hydroxyphenoxy]-6-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenoxy]-3,5difluoro-4-pyridinyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

L30 ANSWER 12 OF 13 USPATFULL

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ACCESSION NUMBER: 1998:61647 USPATFULL

TITLE: Thienylazole compound and thienotriazolodiazepine

compound

INVENTOR(S): Kitajima, Hiroshi, Fukuoka, Japan

Ehara, Syuji, Fukuoka, Japan Sato, Hideaki, Fukuoka, Japan Moriwaki, Minoru, Osaka, Japan Onishi, Kenichi, Fukuoka, Japan

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Osaka, Japan

(non-U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION:

JP 1994-889 19940601

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Kifle, Bruck

LEGAL REPRESENTATIVE: Wenderoth, Lind & Ponack

NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1
LINE COUNT: 8298

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Thienylazole compounds (I) and thienotriazolodiazepine compounds (II) of the formulas ##STR1## wherein R.sup.1 and R.sup.2 are hydrogen, halogen, C.sub.1 -C.sub.5 alkyl and the like; --A.dbd.B-- is --N.dbd.N-- and the like; R.sup.3 and R.sup.19 are hydrogen, C.sub.1 -C.sub.5 alkyl and the like; Y is --NHCO--, --NHCONH--, --NHCOO-- and the like; Z.sup.1 and Z.sup.2 are aryl, heteroaryl and the like; Ar is halogen-substituted phenyl and the like; and m is 0 or an integer of 1-5.

The compounds of the present invention have CCK antagonistic action and gastrin antagonistic action, particularly potent antagonistic action against CCK-A receptor, and are useful as agents for the prophylaxis and treatment of central and peripheral nervous system diseases (e.g., anxiety, schizophrenia, and the like) and digestive diseases (e.g., pancreatitis, gastric ulcer, enterelcosis, irritable bowel syndrome, constipation, and the like).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

# IT 174666-66-1P

(prepn. of thienylazole and thienotriazolodiazepine compds. as cholecystokinin antagonists)

RN 174666-66-1 USPATFULL

CN 1H-Indole-2-carboxamide, N-[[4-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-5-cyclohexyl-4H-1,2,4-triazol-3-yl]methyl]- (9CI) (CA INDEX NAME)

30 ANSWER 13 OF 13 USPATFULL

ACCESSION NUMBER: 1998:54907 USPATFULL

TITLE: Heterocyclic compounds, their production and use

INVENTOR(S): Aono, Tetsuya, Kyoto, Japan

Marui, Shogo, Hyogo, Japan Itoh, Fumio, Osaka, Japan Yamaoka, Masuo, Hyogo, Japan Nakao, Masafumi, Nara, Japan

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Osaka, Japan

(non-U.S. corporation)

JP 1995-191770 19950727

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Grumbling, Matthew V.

LEGAL REPRESENTATIVE: Fitzpatrick, Cella, Harper & Scinto

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 8800

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel compound of the formula:

A--Z--Ar.sup.1 1'CO--Ar.sup.2

wherein A is a condensed pyrimidinone or condensed pyridazinone ring; Ar.sup.1 and Ar.sup.2 are independently a ring; Z is a divalent group, or a salt thereof which have an excellent antitumor activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 183168-70-9P 183168-80-1P 183169-03-1P

183170-11-8P

(prepn. of heterocyclic compds. as antitumor agents)

RN 183168-70-9 USPATFULL

CN 1H-Purine-2,6-dione, 7-[[4-[(6-[1,4'-bipiperidin]-1'-yl-3-pyridinyl)carbonyl]phenyl]methyl]-3,7-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me \\ \hline \\ O \\ N \\ \hline \\ N \\ \hline \\ O \\ \end{array}$$

RN 183168-80-1 USPATFULL

CN 4(3H)-Quinazolinone, 3,5-dimethyl-2-[[[4-[[6-[4-(1-piperidinyl)-1-piperazinyl]-3-pyridinyl]carbonyl]phenyl]methyl]thio]-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HC1

RN 183169-03-1 USPATFULL

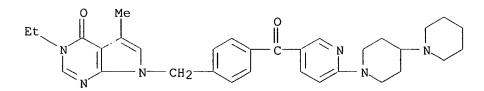
CN 1H-Pyrrolo[3,2-d]pyrimidine-2,4(3H,5H)-dione, 1,3-dimethyl-5-[[4-[[6-(1-piperidinyl)-3-pyridinyl]carbonyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Me & O & O \\ \hline N & N & CH_2 & C & N \\ \hline Me & O & O \\ \hline \end{array}$$

## ●2 HCl

RN 183170-11-8 USPATFULL

CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 7-[[4-[(6-[1,4'-bipiperidin]-1'-yl-3-pyridinyl)carbonyl]phenyl]methyl]-3-ethyl-3,7-dihydro-5-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



Liu

●2 HC1

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L10		SCR	989 AND 1841 AND 1993 AND 1994 AND 2004
L16	2177222	SEA	FILE=REGISTRY ABB=ON C N/RELF(S)5-22/RATC(S)2/NRRS
L18	33	SEA	FILE=REGISTRY SUB=L16 SSS FUL (L6 AND L10)
L21	0	SEA	FILE=CAOLD ABB=ON L18

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